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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	DEC 01	ChemPort single article sales feature unavailable
NEWS 3	JUN 01	CAS REGISTRY Source of Registration (SR) searching enhanced on STN
NEWS 4	JUN 26	NUTRACEUT and PHARMAML no longer updated
NEWS 5	JUN 29	IMSCOPROFILE now reloaded monthly
NEWS 6	JUN 29	EPFULL adds Simultaneous Left and Right Truncation (SLART) to AB, MCLM, and TI fields
NEWS 7	JUL 09	PATDPAFULL adds Simultaneous Left and Right Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS 8	JUL 14	USGENE enhances coverage of patent sequence location (PSL) data
NEWS 9	JUL 27	CA/CAplus enhanced with new citing references
NEWS 10	JUL 16	GBFULL adds patent backfile data to 1855
NEWS 11	JUL 21	USGENE adds bibliographic and sequence information
NEWS 12	JUL 28	EPFULL adds first-page images and applicant-cited references
NEWS 13	JUL 28	INPADOCDB and INPAFAMDB add Russian legal status data
NEWS 14	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS 15	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS 16	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 17	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS 18	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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FILE 'HOME' ENTERED AT 14:58:56 ON 09 SEP 2009

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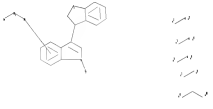
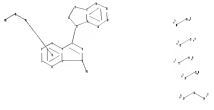
Uploading C:\Program Files\Stnexp\Queries\10598330.str





chain nodes :
19 20 21 22 23 24 25 26 27 28 29 43 44 45 46
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
7-10 9-43 19-20 21-22 23-24 25-26 27-28 28-29 44-45 45-46
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 10-11 10-14 11-12 12-13 13-14
13-15 14-18 15-16 16-17 17-18
exact/norm bonds :
4-7 5-9 7-8 7-10 8-9 9-43 10-11 10-14 11-12 12-13 19-20 21-22 23-24
25-26 27-28 28-29 44-45 45-46
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-15 14-18 15-16 16-17 17-18
isolated ring systems :
containing 1 : 10 :

```
G1:CH2,[*1-*2],[*3-*4],[*5-*6],[*7-*8],[*9-*10]

G2:H,Ak

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:CLASS
20:CLASS  21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS
28:CLASS  29:CLASS  43:CLASS  44:CLASS  45:CLASS  46:CLASS  47:Atom



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sam
SAMPLE SEARCH INITIATED 15:00:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       88 TO ITERATE

100.0% PROCESSED       88 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1198 TO     2322
PROJECTED ANSWERS:               5 TO      234

L2            5 SEA SSS SAM L1

=> d scan

L2   5 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   1H-Indole-1-acetic acid, 3-(7-chloro-4-quinolinyl)-2-methyl-5-
     [(methylsulfonyl)amino]-
MF   C21 H18 Cl N3 O4 S
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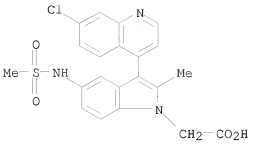


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l1 full
FULL SEARCH INITIATED 15:00:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1752 TO ITERATE

100.0% PROCESSED 1752 ITERATIONS 55 ANSWERS
SEARCH TIME: 00.00.01

L3 55 SEA SSS FUL L1

=> file ca

=> s l3
L4 3 L3

=> d ibib abs fhitstr 1-3

L4 ANSWER 1 OF 3 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:347052 CA
TITLE: Bicyclic substituted indole derivatives as steroid hormone nuclear receptor modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Gavardinas, Konstantinos; Jadhav, Prabhakar Kondaji; Wang, Minmin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092854	A1	20051006	WO 2005-US5240	20050218

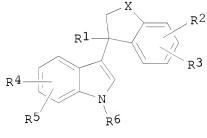
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

AU 2005226759	A1	20051006	AU 2005-226759		20050218
CA 2557745	A1	20051006	CA 2005-2557745		20050218
EP 1723105	A1	20061122	EP 2005-723294		20050218
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 1926104	A	20070307	CN 2005-80006709		20050218
BR 2005007657	A	20070710	BR 2005-7657		20050218
JP 2007526304	T	20070913	JP 2007-501817		20050218
IN 2006KN02239	A	20070525	IN 2006-KN2239		20060808
US 20070185161	A1	20070809	US 2006-598330		20060824
MX 2006009953	A	20061116	MX 2006-9953		20060831
PRIORITY APPLN. INFO.:			US 2004-549754P	P	20040303
			WO 2005-US5240	W	20050218

OTHER SOURCE(S): CASREACT 143:347052; MARPAT 143:347052

GI



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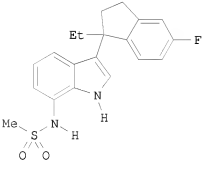


II

AB The invention relates to indole derivs. of formula I, which are modulators of steroid hormone nuclear receptors. In compds. I, X is CH2, (CH2)2,

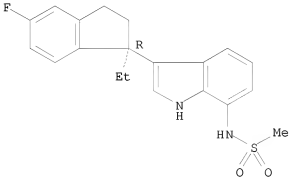
(CH2)3, CH2O, CH2S, or (un)substituted CH2N; R1 is H, C1-4 alkyl, C3-7 cycloalkyl, hydroxy-C1-4 alkyl, halo-C1-4 alkyl, etc.; R2 and R3 are independently selected from H, halo, C1-4 alkyl, or (un)substituted heterocyclyl; R4 is H, halo, amino, nitro, C1-4 alkyl, C1-4 alkoxy, sulfonylamino, carbonylamino, C1-4 alkylcarbonyl, and C1-4 alkoxycarbonyl; R5 is H or halo; and R6 is H or C1-4 alkyl; including pharmaceutically acceptable salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. containing compound I in combination with a pharmaceutically acceptable carrier, diluent, or excipient, as well as to the use of the compns. for treatment of physiol. disorders, particularly congestive heart disease, hypertension, and atherosclerosis. Addition of ethylmagnesium bromide to 5-fluoroindan-1-one followed by condensation with N-(1H-indol-7-yl)methanesulfonamide (preparation in 2 steps from 7-nitroindole given) resulted in the formation of indanylindole derivative II. The two enantiomers of II were separated by chiral HPLC. Most of the compds. of the invention, including compound II and its enantiomers, express high affinity for mineralocorticoid and glucocorticoid receptors, with values for Ki ≤ 500 nM.

IT 865719-16-0P, (R)-N-[3-(1-Ethyl-5-fluoroindan-1-yl)-1H-indol-7-yl]methanesulfonamide
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(chiral drug candidate; preparation of bicyclic indole derivs. as steroid hormone nuclear receptor modulators)

RN 865719-16-0 CA

CN Methanesulfonamide, N-[3-[(1R)-1-ethyl-5-fluoro-2,3-dihydro-1H-inden-1-yl]-1H-indol-7-yl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 141:190682 CA

TITLE: Preparation of indole-derived modulators of steroid hormone nuclear receptors

INVENTOR(S): Bell, Michael Gregory; Gavardinas, Konstantinos; Gernert, Douglas Linn; Grese, Timothy Alan; Jadhav,

Prabhakar Kondaji; Lander, Peter Ambrose; Steinberg, Mitchell Irvin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067529	A1	20040812	WO 2004-US17	20040120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
AU 2004207740	A1	20040812	AU 2004-207740	20040120
CA 2511806	A1	20040812	CA 2004-2511806	20040120
EP 1597254	A1	20051123	EP 2004-703558	20040120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004006883	A	20060103	BR 2004-6883	20040120
CN 1742007	A	20060301	CN 2004-80002685	20040120
JP 2007500253	T	20070111	JP 2006-536489	20040120
US 20060235222	A1	20061019	US 2005-542621	20050715
MX 2005007857	A	20051018	MX 2005-7857	20050722
IN 2005KN01428	A	20070622	IN 2005-KN1428	20050722
PRIORITY APPLN. INFO.:			US 2003-441947P P	20030122
			WO 2004-US17 W	20040120

OTHER SOURCE(S): MARPAT 141:190682
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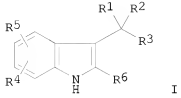

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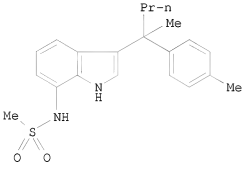


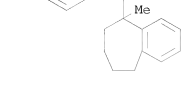
II

AB Title compds. I [R1 = cycloalkyl, alkynyl, aryl, etc.; R2 = alkyl, cycloalkyl, aryl, etc.; R3 = alkyl, haloalkyl, cycloalkyl, etc.; R4 = H, halo, OH, amino, etc.; R5 = H, halo, OH, amino, etc.; R6 = H, halo, alkyl, etc.] are prepared For instance, N-(1H-indol-7-yl)methanesulfonamide is reacted with the appropriate carbinol (CH2Cl2, TFA) to give II. II has Ki < 500 nM for the mineralocorticorticoid and glucocorticoid receptor. I are useful for treating, e.g., congestive heart disease.

IT 737802-90-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(indole-derivative modulators of steroid hormone nuclear receptors)

RN 737802-90-3 CA

CN Methanesulfonamide, N-[3-(6,7,8,9-tetrahydro-5-methyl-5H-benzocyclohepten-5-yl)-1H-indol-7-yl]- (CA INDEX NAME)

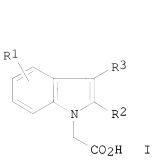


OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:16644 CA
TITLE: Preparation of indolylacetic acid derivatives to treat diseases mediated by prostaglandin D2
INVENTOR(S): Birkinshaw, Timothy; Bonnert, Roger; Cook, Anthony; Rasul, Rukhsana; Sanganee, Hitesh; Teague, Simon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101981	A1	20031211	WO 2003-SE855	20030527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003232712	A1	20031219	AU 2003-232712	20030527
EP 1549634	A1	20050706	EP 2003-756137	20030527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005534646	T	20051117	JP 2004-509672	20030527
US 20050222201	A1	20051006	US 2004-516165	20041130
PRIORITY APPLN. INFO.:			SE 2002-1636 A	20020530
			SE 2002-3822 A	20021220
			WO 2003-SE855 W	20030527

OTHER SOURCE(S): MARPAT 140:16644
GI



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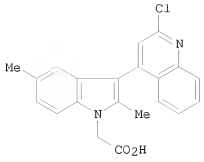


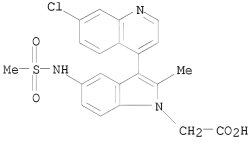
II

AB Title compds. I [R1 = H, halo, CN, NO2, sulfonyl, OH, alkoxy, etc.; R2 = H, halo, CN, sulfonyl, carboxamido, CH2OH, etc.; R3 = (un)substituted (hetero)aryl] are prepared For instance, 2,5-dimethylindole is reacted with 4,7-dichloroquinoline (PhMe/THF, EtMgBr) and the resulting indole alkylated with Et bromoacetate (THF, NaH) and saponified to give II. Example compds. have IC50 < 10 μM for the rhCRTh2 receptor. I are useful in the treatment of respiratory disorders.

IT 629644-69-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylacetic acid derivs. to treat diseases mediated by prostaglandin D2)

RN 629644-69-5 CA

CN 1H-Indole-1-acetic acid, 3-(7-chloro-4-quinolinyl)-2-methyl-5-[(methylsulfonyl)amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file marpat

The new MARPAT User Guide is now available at:
http://www.cas.org/support/stngen/stndoc/marpat.html.

=> s ll full
FULL SEARCH INITIATED 15:02:03 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 16699 TO ITERATE

100.0% PROCESSED 16699 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.09

L5 6 SEA SSS FUL L1

=> d ibib abs fqhit 1-6

L5 ANSWER 1 OF 6 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:522266 MARPAT
TITLE: Aminopyrazolopyrimidines and related compounds useful for inhibition of alpha-synuclein toxicity and their preparation
INVENTOR(S): Lindquist, Susan L.; Outeiro, Tiago; Labaudiniere, Richard; Fleming, James; Bulawa, Christine Ellen; Weigel, Charlotte; Liang, Feng; Gupta, Sandeep; Ripka, Amy
PATENT ASSIGNEE(S): Foldrx Pharmaceuticals, Inc., USA; Whitehead Institute for Biomedical Research
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007126841	A2	20071108	WO 2007-US7607	20070329
WO 2007126841	A3	20081106		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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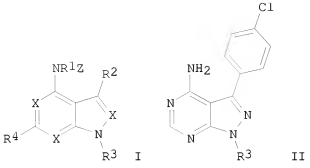
CN 101460161	A	20090617	CN 2007-80020299	20081201
PRIORITY APPLN. INFO.:			US 2006-787113P	20060329
			WO 2007-US7607	20070329

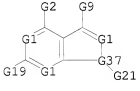
OTHER SOURCE(S): CASREACT 147:522266
GI



I II

AB Compds. of formula I and compns. are provided for treatment or amelioration of one or more symptoms of α-synuclein toxicity, α-synuclein mediated diseases or diseases in which α-synuclein fibrils are a symptom or cause of the disease. Compds. of formula I wherein each X is independently C and CH; R1 and Z are independently H, CHO, acyl, CO2H and derivs., SOH and derivs., SO2H and derivs., etc.; R2 and R3 are independently H, halo, CN, SH and derivs., OH, and derivs., NH2 and derivs., CO2H and derivs., CONH2 and derivs., etc.; R4 is H, halo, CN, SH and derivs., OH and derivs., NH2 and derivs., NO2, CO2H and derivs., etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cyclization of 5-amino-1H-pyrazole-4-carbonitrile with formamide; the resulting 4-amino-1H-pyrazolo[3,4-d]pyrimidine underwent iodination to give 4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidine, which underwent N-alkylation with cyclopropanemethanol to give 4-amino-1-cyclopropyl-3-iodopyrazolo[3,4-d]pyrimidine, which underwent cross-coupling with 4-chlorophenylboronic acid to give compound II. All the invention compds. were evaluated for their α-synuclein toxicity inhibitory activity. From the assay, it was determined that the tested compds. exhibited MRC of less than about 300 μM.

MSTR 1



G1 = CH
G9 = 33 / 54 / 72 / 73 / naphthyl (opt. substd.)

G10—G11 33 G15—G16 54 G17—G15—G16 72 G17—G18 73

G11 = alkyl <containing 1-20 C> (opt. substd.)
G15 = SO2
G17 = NH
G18 = 80

G15-G11
80

G19 = 89 / 104 / 115 / 116

G10-G11 G15-G16 G17-G15-G16 G17-G18
89 104 115 116

G21 = 124 / 139 / 150 / 151

G10-G11 G15-G16 G17-G15-G16 G17-G18
124 139 150 151

G37 = N
Patent location: claim 1
Note: or pharmaceutically acceptable salts or derivatives

L5 ANSWER 2 OF 6 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:235009 MARPAT
TITLE: Preparation of indole sulfonamides as modulators of progesterone receptors
INVENTOR(S): Bleisch, Thomas John; Clarke, Christian Alexander; Dodge, Jeffrey Alan; Jones, Scott Alan; Lopez, Jose Eduardo; Lugar, Charles Willis, III; Muehl, Brian Stephen; Richardson, Timothy Ivo; Yee, Ying Kwong; Yu, Kuo-Long
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007087488	A2	20070802	WO 2007-US60626	20070117
WO 2007087488	A3	20070913		
WO 2007087488	A9	20080828		

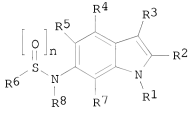
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2007208109	A1	20070802	AU 2007-208109	20070117

CA 2637933	A1	20070802	CA 2007-2637933	20070117	
EP 1979314	A2	20081015	EP 2007-762618	20070117	
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
JP 2009526762	T	20090723	JP 2008-552526	20070117	
US 20090069400	A1	20090312	US 2008-160460	20080710	
KR 2008088609	A	20081002	KR 2008-718146	20080723	
CN 101374808	A	20090225	CN 2007-80003330	20080723	
MX 2008009543	A	20080805	MX 2008-9543	20080724	
IN 2008KN03044	A	20090417	IN 2008-KN3044	20080728	
NO 2008003553	A	20081020	NO 2008-3553	20080814	
PRIORITY APPLN. INFO.:			US 2006-761637P	20060124	
			WO 2007-US60626	20070117	

GI



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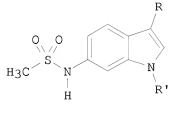
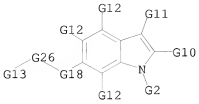


II

AB Title compds. I [n = 1, 2; R1 = alkyl, alkenyl, alkynyl, etc.; R2 = H, halo, -CN, etc.; R3 = aryl, heteroaryl, bicyclic heteroaryl (wherein aryl, heteroaryl and bicyclic heteroaryl are optionally substituted with halo, -CN, -OH, etc.); R4, R5, R7 = H, halo, -OH, etc.; R6 = alkyl, haloalkyl, alkenyl, etc.; R8 = H, alkyl] and their pharmaceutically acceptable salts were prepared For example, Pd(PPh3)4 catalyzed coupling reaction of N-[1-isopropyl-3-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-1H-indol-6-yl]methanesulfonamide, e.g., prepared from 6-nitroindole in 6 steps, with 2-bromo-5-chlorothiophene afforded compound II [R = 5-chlorothiophen-2-yl; R' = isopropyl]. In progesterone receptor (PR) binding assays, compound II [R = 4-cyanophenyl; R' = methyl] exhibited the Ki value of <50 nM. Compds. I are claimed useful for the treatment of leiomyomas, endometriosis, etc.

MSTR 1



G2 = alkyl <containing 1-8 C>
G11 = quinolinyl
G13 = Me
G18 = NH
G26 = SO2
Patent location: claim 1
Note: additional oxo formation also claimed
Note: or pharmaceutically acceptable salts

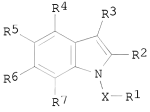
L5 ANSWER 3 OF 6 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 145:103547 MARPAT
TITLE: Preparation of indole derivatives for treating Mycobacterium tuberculosis
INVENTOR(S): Hulikal, Vijaykumar; Rao, Kudur Rangantha
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067392	A2	20060629	WO 2005-GB4876	20051216
WO 2006067392	A3	20070308		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2005DE03361	A	20080104	IN 2005-DE3361	20051214
CN 101087781	A	20071212	CN 2005-80044401	20051216
EP 1904487	A2	20080402	EP 2005-818623	20051216
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008525394	T	20080717	JP 2007-547617	20051216

PRIORITY APPLN. INFO.: GB 2004-28173 20041223

OTHER SOURCE(S): CASREACT 145:103547

GI



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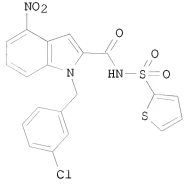
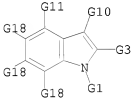


II

AB The title compds. I [X = a bond, CH2; R1 = H, alkyl (un)substituted aryl, heteroaryl; R2 = CO2H, CN, C(O)CH2OH, etc.; R3 = H, halo, alkyl, etc.; R4 = NO2, NHR14, NHCOR15, etc. (wherein R14 = H, alkyl, (un)substituted aryl, heterocyclyl; R15 = (un)substituted alkyl, aryl, heterocyclyl); R5-R7 = H, halo, a functional group, etc.], useful in the manufacture of a medicament for the treatment of Mycobacterium tuberculosis (M.tb), were prepared Thus, reacting 1-(3-chlorobenzyl)-4-nitro-1H-indole-2-carboxylic acid with thiophene-2-sulfonamide afforded 65% II. All the exemplified compds. I have an IC50 of <50 μM when tested in the enzyme assay. Pharmaceutical composition comprising the compound I is disclosed.

MSTR 1



G10 = naphthyl
G11 = 72

72

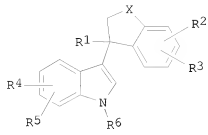
G14 = alkyl <containing 1-10 C>
Patent location: claim 1
Note: or pharmaceutically acceptable salts or in vivo hydrolysable esters

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 6 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:347052 MARPAT
TITLE: Bicyclic substituted indole derivatives as steroid hormone nuclear receptor modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Gavardinas, Konstantinos; Jadhav, Prabhakar Kondaji; Wang, Minmin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092854	A1	20051006	WO 2005-US5240	20050218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005226759	A1	20051006	AU 2005-226759	20050218
CA 2557745	A1	20051006	CA 2005-2557745	20050218
EP 1723105	A1	20061122	EP 2005-723294	20050218
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1926104	A	20070307	CN 2005-80006709	20050218
BR 2005007657	A	20070710	BR 2005-7657	20050218
JP 2007526304	T	20070913	JP 2007-501817	20050218
IN 2006KN02239	A	20070525	IN 2006-KN2239	20060808
US 20070185161	A1	20070809	US 2006-598330	20060824
MX 2006009953	A	20061116	MX 2006-9953	20060831
PRIORITY APPLN. INFO.:			US 2004-549754P	20040303
			WO 2005-US5240	20050218

OTHER SOURCE(S): CASREACT 143:347052
GI



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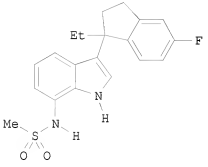
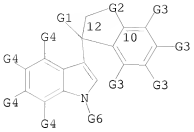


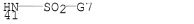
II

AB The invention relates to indole derivs. of formula I, which are modulators of steroid hormone nuclear receptors. In compds. I, X is CH2, (CH2)2, (CH2)3, CH2O, CH2S, or (un)substituted CH2N; R1 is H, C1-4 alkyl, C3-7 cycloalkyl, hydroxy-C1-4 alkyl, halo-C1-4 alkyl, etc.; R2 and R3 are independently selected from H, halo, C1-4 alkyl, or (un)substituted heterocyclyl; R4 is H, halo, amino, nitro, C1-4 alkyl, C1-4 alkoxy, sulfonylamino, carbonylamino, C1-4 alkylcarbonyl, and C1-4 alkoxycarbonyl; R5 is H or halo; and R6 is H or C1-4 alkyl; including pharmaceutically acceptable salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. containing compound I in combination with a pharmaceutically acceptable carrier, diluent, or excipient, as well as to the use of the compns. for treatment of physiol. disorders, particularly congestive heart disease, hypertension, and atherosclerosis. Addition of ethylmagnesium bromide to 5-fluoroindan-1-one followed by condensation with N-(1H-indol-7-yl)methanesulfonamide (preparation in 2 steps from 7-nitroindole given) resulted in the formation of indanylindole derivative II. The two enantiomers of II were separated by chiral HPLC. Most of the compds. of the invention, including compound II and its enantiomers, express high affinity for mineralocorticoid and glucocorticoid receptors, with values for Ki ≤ 500 nM.

MSTR 1



G2 = CH2
G4 = 41



G7 = Me
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 6 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:16644 MARPAT
TITLE: Preparation of indolylacetic acid derivatives to treat diseases mediated by prostaglandin D2
INVENTOR(S): Birkinshaw, Timothy; Bonnert, Roger; Cook, Anthony; Rasul, Rukhsana; Sanganee, Hitesh; Teague, Simon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101981	A1	20031211	WO 2003-SE855	20030527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003232712	A1	20031219	AU 2003-232712	20030527
EP 1549634	A1	20050706	EP 2003-756137	20030527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005534646	T	20051117	JP 2004-509672	20030527
US 20050222201	A1	20051006	US 2004-516165	20041130
PRIORITY APPLN. INFO.:			SE 2002-1636	20020530
			SE 2002-3822	20021220
			WO 2003-SE855	20030527

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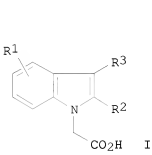

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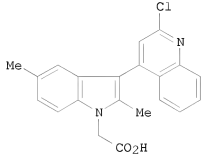
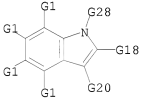


II

AB Title compds. I [R1 = H, halo, CN, NO2, sulfonyl, OH, alkoxy, etc.; R2 = H, halo, CN, sulfonyl, carboxamido, CH2OH, etc.; R3 = (un)substituted (hetero)aryl] are prepared For instance, 2,5-dimethylindole is reacted with 4,7-dichloroquinoline (PhMe/THF, EtMgBr) and the resulting indole alkylated with Et bromoacetate (THF, NaH) and saponified to give II. Example compds. have IC50 < 10 μM for the rhCRTh2 receptor. I are useful in the treatment of respiratory disorders.

MSTR 1



G1 = 47

G3 = alkyl <containing 1-6 C> (opt. substd.)
G6 = SO2
G20 = quinolinyl (opt. substd.)
Patent location: claim 1

Note: or pharmaceutically acceptable salts or solvates
Note: also incorporates claim 12, structure II
Note: substitution is restricted

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 6 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 129:27933 MARPAT
TITLE: Aryl and heteroaryl substituted fused pyrrole antiinflammatory agents
INVENTOR(S): Zablocki, Jeffery A.; Tarlton, Eugene, Jr.; Rizzi, James P.; Mantlo, Nathan B.
PATENT ASSIGNEE(S): Amgen Inc., USA; Zablocki, Jeffery A.; Tarlton, Eugene, Jr.; Rizzi, James P.; Mantlo, Nathan B.
SOURCE: PCT Int. Appl., 258 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9822457	A1	19980528	WO 1997-US21344	19971118
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2271767	A1	19980528	CA 1997-2271767	19971118
AU 9852659	A	19980610	AU 1998-52659	19971118
AU 734841	B2	20010621		
EP 948495	A1	19991013	EP 1997-947617	19971118
EP 948495	B1	20040414		
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CN 1246856	A	20000308	CN 1997-181372	19971118
HU 9903330	A2	20000328	HU 1999-3330	19971118
JP 2001506980	T	20010529	JP 1998-523914	19971118
AT 264318	T	20040415	AT 1997-947617	19971118
ES 2215242	T3	20041001	ES 1997-947617	19971118
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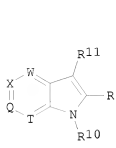
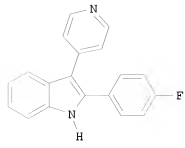
US 1999-269600	19990608
US 2000-644102	20000823

GI



I



II

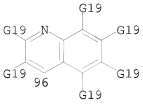
AB The invention comprises a new class of novel aryl- and heteroaryl-substituted fused pyrrole compds. I [W, X, Q, T = N, CH, CR1-4; R1-4 = -Z-Y with provisos; Z = bond, alk(ane/ene/yne)diyl, heterocyclediyl, (hetero)arylene; Y = H (when Z ≠ bond), halo, cyano, NO2, various acyl, (un)substituted OH, SH, or NH2; R10 = H, (un)substituted alk(en/yn)yl, various acyl or sulfonyl groups; R11, R12 = (un)substituted (hetero)aryl]. The compds. are useful for the prophylaxis and treatment of diseases or conditions mediated by TNF-α, IL-1β, IL-6 and/or IL-8, and other maladies, such as pain and diabetes. In particular, the compds. are useful for prophylaxis and treatment of inflammatory diseases or conditions. The invention also comprises pharmaceutical compns., methods of prophylaxis and treatment, use of compds. and compns., and intermediates and preparatory processes. For instance, amidation of 4-(2-aminobenzoyl)pyridine with 4-fluorobenzoyl chloride, and cyclization of the resultant keto amide using low-valent Ti from K/graphite/TiCl3, gave title compound II. This compound inhibited cyclooxygenase in vitro with an IC50 of ≤ 5 μM.

MSTR 1

G1 = 8-2 9-4

G2 = 41

G4 = 96



G21 = 121

G28 = Me
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 14:58:56 ON 09 SEP 2009)

FILE 'REGISTRY' ENTERED AT 14:59:47 ON 09 SEP 2009
L1 STRUCTURE UPLOADED
L2 5 S L1 SAM
L3 55 S L1 FULL

FILE 'CA' ENTERED AT 15:00:16 ON 09 SEP 2009
L4 3 S L3

FILE 'MARPAT' ENTERED AT 15:02:01 ON 09 SEP 2009
L5 6 S L1 FULL

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---Logging off of STN---

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Executing the logoff script...

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STN INTERNATIONAL LOGOFF AT 15:03:03 ON 09 SEP 2009